WHAT IS CLAIMED IS:

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1. A method of inhibiting 11β -hydroxysteroid dehydrogenase in a living system comprising:

administering to the living system an effective amount of an inhibitor of cortisol-to-cortisone conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula I or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula II or derivatives thereof as follows:

wherein R₁ is H or CH₃, R₂ is H, CH₃, or CH₂CH₃, R₃ is H, CH₃, CH₂CH₃, or CH₂CH₂CH₃, R₄ is H, CH₃, or CH₂CH₃, R₅ is H, CH₃, or CH₂CH₃, R₆ is H, CH₃, CH₂CH₃, or CH₂CH₂CH₃, R₇ is H or CH₃, X is OH, SH, or NH₂, X' is O, S, or NH, and Y is O, S, NH, or CH₂.

- 2. The method according to claim 1, wherein the $11\beta\mbox{-}$ hydroxysteroid dehydrogenase is isoform I.
- 3. The method according to claim 1, wherein the 11β -hydroxysteroid dehydrogenase is isoform II.
- 4. The method according to claim 1, wherein the inhibitor has 25 the formula:

5. The method according to claim 1, wherein the inhibitor has the formula:

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6. The method according to claim 1, wherein the administering is carried out topically.

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The method according to claim 1, wherein the inhibitor is 7. administered with pharmaceutically acceptable carrier, excipient, or stabilizer.

8.

A method of treating an inflammatory or allergic condition in a living system comprising: administering to the living system an inhibitor of cortisol-tocortisone conversion, as mediated by 11\beta-hydroxysteroid dehydrogenase, of

formula I or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11βhydroxysteroid dehydrogenase, of formula II or derivatives thereof as follows:

$$\begin{array}{c} X \\ Y \\ R_2 \\ R_3 \\ R_4 \end{array}$$

$$\begin{array}{c} R_7 \\ R_6 \\ R_2 \\ R_{10} \\ R_{20} \\ R_{30} \\ R_{40} \end{array}$$

$$\begin{array}{c} R_7 \\ R_7 \\ R_7 \\ R_7 \\ R_7 \\ R_8 \\ R_9 \end{array}$$

$$\begin{array}{c} R_7 \\ R_7 \\$$

wherein R₁ is H or CH₃, R₂ is H, CH₃, or CH₂CH₃, R₃ is H, CH₃, CH₂CH₃, or CH₂CH₂CH₃, R₄ is H, CH₃, or CH₂CH₃, R₅ is H, CH₃, or CH₂CH₃, R₆ is H, CH₃, CH₂CH₃, or CH₂CH₂CH₃, R₇ is H or CH₃, X is OH, SH, or NH₂, X' is O, S, or NH, and Y is O, S, NH, or CH₂, under conditions effective to treat an inflammatory or allergic condition.

- 9. The method according to claim 8, wherein the 11β-hydroxysteroid dehydrogenase is isoform I.
- 10. The method according to claim 8, wherein the 11β -hydroxysteroid dehydrogenase is isoform II.
- 11. The method according to claim 8, wherein the inhibitor has the formula:

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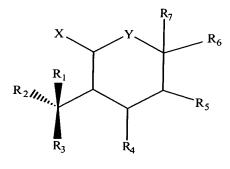
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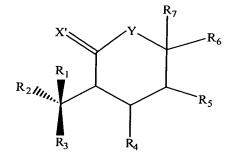
12. The method according to claim 8, wherein the inhibitor has the formula:

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- 13. The method according to claim 8, wherein the administering is carried out topically.
- 14. The method according to claim 8, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
 - 15. A method of treating cancer in a living system comprising: administering to the living system an inhibitor of cortisol-to-cortisone conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula I or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula II or derivatives thereof as follows:





I

wherein R_1 is H or CH_3 , R_2 is H, CH_3 , or CH_2CH_3 , R_3 is H, CH_3 , CH_2CH_3 , or $CH_2CH_2CH_3$, R_4 is H, CH_3 , or CH_2CH_3 , R_5 is H, CH_3 , or CH_2CH_3 , R_6 is H, CH_3 , CH_2CH_3 , or $CH_2CH_2CH_3$, R_7 is H or CH_3 , X is OH, SH, or NH_2 , X' is O, S, or NH, and Y is O, S, NH, or CH_2 , under conditions effective to treat cancer.

5

- 16. The method according to claim 15, wherein the 11β -hydroxysteroid dehydrogenase is isoform I.
- 17. The method according to claim 15, wherein the 11β 10 hydroxysteroid dehydrogenase is isoform II.
 - 18. The method according to claim 15, wherein the inhibitor has the formula:

15

19. The method according to claim 15, wherein the inhibitor has the formula:

- 20. The method according to claim 15, wherein the administering is carried out topically.
- 21. The method according to claim 15, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
 - 22. A method of treating obesity, diabetes mellitus, or a metabolic syndrome involving 11β -hydroxysteroid dehydrogenase in a living system comprising:
- administering to the living system an inhibitor of cortisol-to-cortisone conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula I or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula II or derivatives thereof as follows:

$$R_{2} = R_{1}$$

$$R_{2} = R_{3}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{2} = R_{1}$$

$$R_{3}$$

$$R_{4}$$

$$R_{1}$$

$$R_{2} = R_{3}$$

$$R_{3}$$

$$R_{4}$$

$$R_{1}$$

$$R_{3}$$

$$R_{4}$$

$$R_{1}$$

$$R_{3}$$

$$R_{4}$$

$$R_{1}$$

$$R_{2} = R_{3}$$

$$R_{3}$$

$$R_{4}$$

wherein R₁ is H or CH₃, R₂ is H, CH₃, or CH₂CH₃, R₃ is H, CH₃, CH₂CH₃, or CH₂CH₂CH₃, R₄ is H, CH₃, or CH₂CH₃, R₅ is H, CH₃, or CH₂CH₃, R₆ is H, CH₃, CH₂CH₃, or CH₂CH₂CH₃, R₇ is H or CH₃, X is OH, SH, or NH₂, X' is O, S, or NH, and Y is O, S, NH, or CH₂, under conditions effective to treat obesity, diabetes mellitus, or a metabolic syndrome involving 11β-hydroxysteroid dehydrogenase.

23. The method according to claim 22, wherein the 11β-hydroxysteroid dehydrogenase is isoform I.

- 24. The method according to claim 22, wherein the 11β -hydroxysteroid dehydrogenase is isoform II.
- 5 25. The method according to claim 22, wherein the inhibitor has the formula:

10 26. The method according to claim 22, wherein the inhibitor has the formula:

- 27. The method according to claim 22, wherein the administering is carried out topically.
 - 28. The method according to claim 22, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
- 29. A method of inhibiting 11β-hydroxysteroid dehydrogenase in a living system comprising:

administering to the living system an effective amount of an inhibitor of cortisol-to-cortisone conversion, as mediated by 11 β -hydroxysteroid dehydrogenase, of formula III or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11 β -hydroxysteroid dehydrogenase, of formula IV or derivatives thereof as follows:

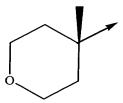
$$R_3$$
 R_5
 R_4
 R_5
 R_4
 R_1
 R_1
 R_2
 R_3
 R_4
 R_1
 R_1
 R_2
 R_3
 R_4
 R_5

wherein R₁ is

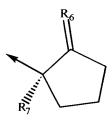
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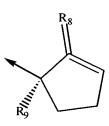
or



 $5 R_2 ext{ is}$



wherein R₆ is O or S and R₇ is H, OH, or halogen, or



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wherein R_8 is H, OH, or halogen, and R_9 is H, OH, or halogen, and R_3 is OH, SH, or NH₂, R_3 ' is O, S, or NH, R_4 is O, S, NH, or CH₂, R_5 is N or CH₂, and R_5 ' is SO or CH₂.

- 15 30. The method according to claim 29, wherein the 11β-hydroxysteroid dehydrogenase is isoform I.
 - 31. The method according to claim 29, wherein the $11\beta\mbox{-}$ hydroxysteroid dehydrogenase is isoform II.

- 32. The method according to claim 29, wherein the administering is carried out topically and/or systemically.
- The method according to claim 29, wherein the inhibitor is
 administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
 - 34. A method of treating an inflammatory or allergic condition in a living system comprising:

administering to the living system an inhibitor of cortisol-tocortisone conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula III or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11βhydroxysteroid dehydrogenase, of formula IV or derivatives thereof as follows:

$$R_3$$
 R_5 R_4 R_2 R_1 R_4 R_4 R_4 R_4

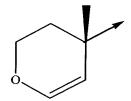
III

 R_3 R_5 R_4 R_1 R_1 R_1

wherein R₁ is

IV

20



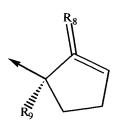
or

5

 R_2 is

wherein R₆ is O or S and R₇ is H, OH, or halogen, or

10



wherein R₈ is H, OH, or halogen, and R₉ is H, OH, or halogen, and R₃ is OH, SH, or NH₂, R₃' is O, S, or NH, R₄ is O, S, NH, or CH₂, R₅ is N or CH₂, and R₅' is SO or CH₂, under conditions effective to treat an inflammatory or allergic condition.

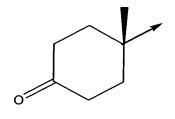
- 35. The method according to claim 34, wherein the 11β -hydroxysteroid dehydrogenase is isoform I.
- The method according to claim 34, wherein the 11β hydroxysteroid dehydrogenase is isoform II.
 - 37. The method according to claim 34, wherein the administering is carried out topically and/or systemically.
- 38. The method according to claim 34, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
- 39. A method of treating cancer in a living system comprising:
 administering to the living system an inhibitor of cortisol-to cortisone conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of

formula III or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula IV or derivatives thereof as follows:

$$R_3$$
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_1
 R_1

20 III IV

wherein R₁ is



5 or

 R_2 is

wherein R₆ is O or S and R₇ is H, OH, or halogen, or

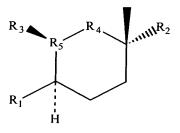
wherein R_8 is H, OH, or halogen, and R_9 is H, OH, or halogen, and R_3 is OH, SH, or NH₂, R_3 ' is O, S, or NH, R_4 is O, S, NH, or CH₂, R_5 is N or CH₂, and R_5 ' is SO or CH₂, under conditions effective to treat cancer.

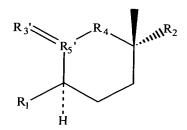
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- 40. The method according to claim 39, wherein the 11β -hydroxysteroid dehydrogenase is isoform I.
- 41. The method according to claim 39, wherein the 11β hydroxysteroid dehydrogenase is isoform II.
 - 42. The method according to claim 39, wherein the administering is carried out topically and/or systemically.
- 15 43. The method according to claim 39, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
 - 44. A method of treating obesity, diabetes mellitus, or a metabolic syndrome involving 11β -hydroxysteroid dehydrogenase in a living system comprising:

administering to the living system an inhibitor of cortisol-to-cortisone conversion, as mediated by 11 β -hydroxysteroid dehydrogenase, of formula III or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11 β -hydroxysteroid dehydrogenase, of formula IV or derivatives thereof as follows:

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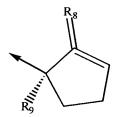
wherein R₁ is

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or

10 R₂ is

wherein R₆ is O or S and R₇ is H, OH, or halogen, or



wherein R₈ is H, OH, or halogen, and R₉ is H, OH, or halogen, and R₃ is OH, SH, or NH₂, R₃' is O, S, or NH, R₄ is O, S, NH, or CH₂, R₅ is N or CH₂, and R₅' is SO or CH₂, under conditions effective to treat obesity, diabetes mellitus, or a metabolic syndrome involving 11β-hydroxysteroid dehydrogenase.

45. The method according to claim 44, wherein the 11β -hydroxysteroid dehydrogenase is isoform I.

46. The method according to claim 44, wherein the 11β -hydroxysteroid dehydrogenase is isoform II.

47. The method according to claim 44, wherein the administering is carried out topically and/or systemically.

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- 48. The method according to claim 44, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
- 20 49. A method of inhibiting 11β-hydroxysteroid dehydrogenase in a living system comprising:

administering to the living system an effective amount of an inhibitor of cortisol-to-cortisone conversion, as mediated by 11β -hydroxysteroid dehydrogenase, of formula V or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11β -hydroxysteroid dehydrogenase, of formula VI or derivatives thereof as follows:

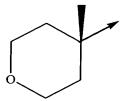
$$R_3$$
 R_5
 R_4
 R_1
 R_2
 R_1
 R_2
 R_3
 R_4
 R_5
 R_4
 R_1
 R_2
 R_3
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_7
 R_7

wherein R_1 is

5

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or



 R_2 is H, OH, or halogen, R_3 is OH, SH, or NH₂, R_3 ' is O, S, or NH, R_4 is O, S, NH, or CH₂, R_5 is N or CH₂, and R_5 ' is SO or CH₂.

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- 50. The method according to claim 49, wherein the 11β -hydroxysteroid dehydrogenase is isoform I.
- 51. The method according to claim 49, wherein the 11β 10 hydroxysteroid dehydrogenase is isoform II.
 - 52. The method according to claim 49, wherein the administering is carried out topically and/or systemically.
- 15 53. The method according to claim 49, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
 - 54. A method of treating an inflammatory or allergic condition in a living system comprising:

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administering to the living system an inhibitor of cortisol-to-cortisone conversion, as mediated by 11 β -hydroxysteroid dehydrogenase, of formula V or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11 β -hydroxysteroid dehydrogenase, of formula VI or derivatives thereof as follows:

$$R_3$$
 R_5 R_4 R_2 R_1 R_2

$$R_3$$
 R_5
 R_4
 R_1
 R_1

V

VI

wherein R_1 is

5

10 or

R₂ is H, OH, or halogen, R₃ is OH, SH, or NH₂, R₃' is O, S, or NH, R₄ is O, S, NH, or CH₂, R₅ is N or CH₂, and R₅' is SO or CH₂, under conditions effective to treat an inflammatory or allergic condition.

- 5 55. The method according to claim 54, wherein the 11β-hydroxysteroid dehydrogenase is isoform I.
 - 56. The method according to claim 54, wherein the 11β -hydroxysteroid dehydrogenase is isoform II.
 - 57. The method according to claim 54, wherein the administering is carried out topically and/or systemically.
- 58. The method according to claim 54, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
 - 59. A method of treating cancer in a living system comprising: administering to the living system an inhibitor of cortisol-to-cortisone conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula V or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula VI or derivatives thereof as follows:

$$R_3$$
 R_5
 R_4
 R_1
 R_2
 R_1
 R_2
 R_3
 R_4
 R_1
 R_2
 R_3
 R_4
 R_1
 R_2
 R_3
 R_4
 R_5
 R_4
 R_5
 R_4
 R_7
 R_8
 R_9
 R_9
 R_9
 R_9
 R_9
 R_9
 R_9
 R_9

25

20

5

or

- 10 R₂ is H, OH, or halogen, R₃ is OH, SH, or NH₂, R₃' is O, S, or NH, R₄ is O, S, NH, or CH₂, R₅ is N or CH₂, and R₅' is SO or CH₂, under conditions effective to treat cancer.
- 60. The method according to claim 59, wherein the 11β hydroxysteroid dehydrogenase is isoform I.
 - 61. The method according to claim 59, wherein the 11β -hydroxysteroid dehydrogenase is isoform II.

- 62. The method according to claim 59, wherein the administering is carried out topically and/or systemically.
- 5 The method according to claim 59, wherein the inhibitor is 63. administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
 - A method of treating obesity, diabetes mellitus, or a 64. metabolic syndrome involving 11β -hydroxysteroid dehydrogenase in a living system comprising:

administering to the living system an inhibitor of cortisol-tocortisone conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula V or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11βhydroxysteroid dehydrogenase, of formula VI or derivatives thereof as follows:

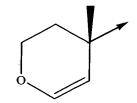
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$$R_3$$
 R_4
 R_5
 R_4
 R_5
 R_4
 R_7
 R_7

wherein R₁ is

VI



or

5

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 R_2 is H, OH, or halogen, R_3 is OH, SH, or NH₂, R_3 ' is O, S, or NH, R_4 is O, S, NH, or CH₂, R_5 is N or CH₂, and R_5 ' is SO or CH₂, under conditions effective to treat obesity, diabetes mellitus, or a metabolic syndrome involving 11β -hydroxysteroid dehydrogenase.

65. The method according to claim 64, wherein the 11β -hydroxysteroid dehydrogenase is isoform I.

- 66. The method according to claim 64, wherein the 11β -hydroxysteroid dehydrogenase is isoform II.
- 67. The method according to claim 64, wherein the administering is carried out topically and/or systemically.
 - 68. The method according to claim 64, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
- 25 69. A method of inhibiting 11β-hydroxysteroid dehydrogenase in a living system comprising:

administering to the living system an effective amount of an inhibitor of cortisol-to-cortisone conversion, as mediated by 11β -hydroxysteroid dehydrogenase, of formula VII or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11β -hydroxysteroid dehydrogenase, of formula VIII or derivatives thereof as follows:

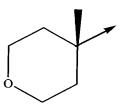
$$R_3$$
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5

VII

10 wherein R₁ is

5

or



R₂ is H, OH, or halogen, R₃ is OH, SH, or NH₂, R₃' is O, S, or NH, R₄ is O, S, NH, or CH₂, R₅ is N or CH₂, and R₅' is SO or CH₂.

- 70. The method according to claim 69, wherein the 11β -hydroxysteroid dehydrogenase is isoform I.
- The method according to claim 69, wherein the 11β-hydroxysteroid dehydrogenase is isoform II.
 - 72. The method according to claim 69, wherein the administering is carried out topically and/or systemically.

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73. The method according to claim 69, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.

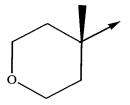
74. A method of treating an inflammatory or allergic condition20 in a living system comprising:

administering to the living system an inhibitor of cortisol-to-cortisone conversion, as mediated by 11 β -hydroxysteroid dehydrogenase, of formula VII or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11 β -hydroxysteroid dehydrogenase, of formula VIII or derivatives thereof as follows:

$$R_3$$
 R_4
 R_2
 R_3
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_7
 R_8
 R_8
 R_8
 R_9
 R_9

5 wherein R_1 is

or



R₂ is H, OH, or halogen, R₃ is OH, SH, or NH₂, R₃' is O, S, or NH, R₄ is O, S, NH, or CH₂, R₅ is N or CH₂, and R₅' is SO or CH₂, under conditions effective to treat an inflammatory or allergic condition.

- 75. The method according to claim 74, wherein the 11β -hydroxysteroid dehydrogenase is isoform I.
- 76. The method according to claim 74, wherein the 11β hydroxysteroid dehydrogenase is isoform II.
 - 77. The method according to claim 74, wherein the administering is carried out topically and/or systemically.
- The method according to claim 74, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
 - 79. A method of treating cancer in a living system comprising: administering to the living system an inhibitor of cortisol-to-
- 20 cortisone conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula VII or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11β-hydroxysteroid dehydrogenase, of formula VIII or derivatives thereof as follows:

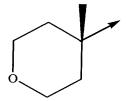
$$R_3$$
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_1
 R_1
 R_2
 R_3
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_5
 R_4
 R_5
 R_5

wherein R₁ is

10

5

or



 R_2 is H, OH, or halogen, R_3 is OH, SH, or NH₂, R_3 ' is O, S, or NH, R_4 is O, S, NH, or CH₂, R_5 is N or CH₂, and R_5 ' is SO or CH₂, under conditions effective to treat cancer.

5

- 80. The method according to claim 79, wherein the 11β -hydroxysteroid dehydrogenase is isoform I.
- 81. The method according to claim 79, wherein the 11β hydroxysteroid dehydrogenase is isoform II.
 - 82. The method according to claim 79, wherein the administering is carried out topically and/or systemically.
- 15 83. The method according to claim 79, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.
 - 84. A method of treating obesity, diabetes mellitus, or a metabolic syndrome involving 11β-hydroxysteroid dehydrogenase in a living system comprising:

administering to the living system an inhibitor of cortisol-to-cortisone conversion, as mediated by 11 β -hydroxysteroid dehydrogenase, of formula VII or an inhibitor of cortisone-to-cortisol conversion, as mediated by 11 β -hydroxysteroid dehydrogenase, of formula VIII or derivatives thereof as follows:

25

$$R_3$$
 R_4
 R_2
 R_3
 R_4
 R_2
 R_3
 R_4
 R_1
 R_2
 R_3
 R_4
 R_1
 R_2
 R_3
 R_4
 R_5
 R_4
 R_1
 R_2
 R_3
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5
 R_4
 R_5

wherein R₁ is

5

or

 R_2 is H, OH, or halogen, R_3 is OH, SH, or NH₂, R_3 ' is O, S, or NH, R_4 is O, S, NH, or CH₂, R_5 is N or CH₂, and R_5 ' is SO or CH₂, under conditions effective to treat obesity, diabetes mellitus, or a metabolic syndrome involving 11 β -hydroxysteroid dehydrogenase.

- 85. The method according to claim 84, wherein the 11β -hydroxysteroid dehydrogenase is isoform I.
- 86. The method according to claim 84, wherein the 11β hydroxysteroid dehydrogenase is isoform II.
 - 87. The method according to claim 84, wherein the administering is carried out topically and/or systemically.
- 15 88. The method according to claim 84, wherein the inhibitor is administered with pharmaceutically acceptable carrier, excipient, or stabilizer.